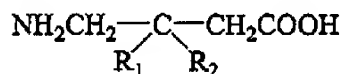


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Please replace all prior claims in the application with the following:

Claim 1 (currently amended): A stabilized pharmaceutical preparation, comprising: (a) an  $\alpha$  amino acid; (b) an optional auxiliary agent for manufacturing a pharmaceutical preparation; and (c) a 4-amino-3-substituted-butanoic acid derivative, which 4-amino-3-substituted-butanoic acid derivative has the general formula:



wherein,

R<sub>1</sub> is a hydrogen atom, a hydroxyl group, a methyl group or an ethyl group;

R<sub>2</sub> is a monovalent group selected from:

a straight or branched alkyl group of 3 - 8 carbon atoms;

a straight or branched alkylene group of 3 - 8 carbon atoms;

a straight or branched alkyl group of 3 - 8 carbon atoms which is mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkyl group of 3 - 8 carbon atoms;

a cycloalkyl group of 3 - 8 carbon atoms which is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 4 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 4 - 8 carbon atoms wherein said phenyl ring is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

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a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms wherein said phenyl ring is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

an alkylcycloalkyl group wherein said cycloalkyl has 3 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-;

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an alkylcycloalkyl group wherein said cycloalkyl has 3 - 8 carbon atoms, is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS- and is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-;

a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-, and one or two of the unsubstituted methylene groups (-CH<sub>2</sub>-) are mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedieryl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-;

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a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedieryl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-, and one or two of the unsubstituted methylene groups (-CH<sub>2</sub>-) being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-;

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a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-, said phenyl group being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedieryl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedieryl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-, said phenyl ring being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

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an alkylcycloalkyl group wherein said cycloalkyl has 5 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring being replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-;

an alkylcycloalkyl group wherein said cycloalkyl has 5 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-, and one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring being replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>- and one or two of the unsubstituted methylene groups (-CH<sub>2</sub>-) being mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a phenyl or naphthyl group;

a phenyl group substituted with a methylenedioxy group;

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a phenyl or naphthyl group which is mono-, di- or tri-substituted with a ~~halogen atom~~, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group, a phenoxy group, a phenylmethoxy group, a phenylmethoxy group wherein said phenyl ring is mono-substituted with a halogen atom, trifluoromethyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group, a cycloalkylmethoxy group having 5 - 8 carbon atoms in the cycloalkyl ring, a cycloalkenylmethoxy group having 5 - 8 carbon atoms in the cycloalkenyl ring, a cycloalkanediethylmethoxy group having 5 - 8 carbon atoms in the cycloalkanediethyl ring, a cycloalkylmethoxy group wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-, a cycloalkenylmethoxy group wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-, a cycloalkanediethyl-methoxy

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group wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkanedieryl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>- group, a cycloalkylmethoxy group having 5 - 8 carbon atoms in the cycloalkyl ring wherein said cycloalkyl ring is mono-substituted with a halogen atom, trifluoromethyl group, a hydroxy group, an alkyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-, a cycloalkenylmethoxy group having 5 - 8 carbon atoms in the cycloalkenyl ring wherein said cycloalkenyl ring is mono-substituted with a halogen atom, a trifluoromethyl group, a hydroxy group, an alkyl group, an alkoxy group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-, or a cycloalkanedierylmethoxy group having 5 - 8 carbon atoms in the cycloalkanedieryl ring wherein said cycloalkanedieryl ring is mono-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkanedieryl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-;

an alkylphenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-;

an alkyl-O-, -S- or -SS-phenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms via -O-, -S- or -SS-;

an -O-, -S- or -SS-phenyl group;

a diphenylamino group;

an alkylphenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS- and mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a

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hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

an alkyl-O-, -S- or -SS-phenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms via -O-, -S- or -SS- and mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

an -O-, -S- or -SS-phenyl group wherein said phenyl group is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

or

R<sub>1</sub> and R<sub>2</sub>, together with the carbon atom to which they are attached, may form a divalent group selected from:

a cycloalkylidene group of 5 - 8 carbon atoms;

a cycloalkylidene group of 5 - 8 carbon atoms which is mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, a cycloalkyl group, a phenyl group, an amino group, a nitro group or a carboxyl group;

a cycloalkylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-;

a cycloalkylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>- group and one or more of the unsubstituted methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring are mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;


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a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms which is mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, a cycloalkyl group, a phenyl group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-;

 a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>- group and one or more of the unsubstituted methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedienyl ring are mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkylidene group of 4 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkylidene group of 4 - 8 carbon atoms, said phenyl ring being mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

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a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms; or

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms, said phenyl ring being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

~~provided that when R<sub>2</sub> is a phenyl or naphthyl group which is mono-, di- or tri-substituted with a halogen atom, the  $\alpha$ -amino acid is not glycine; and~~  
~~provided that when the 4-amino-3-substituted butanoic acid derivative is gabapentin, the  $\alpha$ -amino acid is not methyl D-aspartic acid.~~

21  
Claim 2 (previously amended): The stabilized pharmaceutical preparation of Claim 1 wherein said  $\alpha$ -amino acid is one or more selected from

the L-, D- and DL-forms of neutral  $\alpha$ -amino acids;

alkali salts, acid amides, alkyl-substituted derivatives of acid amides or alkyl esters of the L-, D- and DL-forms of acidic  $\alpha$ -amino acids;

acid addition salts or monoacylated derivatives of the L-, D- and DL-forms of basic  $\alpha$ -amino acids;

$\alpha,\omega$ -diaminodicarboxylic acids; and

acidic amino acid-basic amino acid adducts of the L-, D- and DL-forms of acidic  $\alpha$ -amino acids and the L-, D- and DL-forms of basic  $\alpha$ -amino acids.

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Claim 3 (previously amended): The stabilized pharmaceutical preparation of Claim 2 wherein said  $\alpha$ -amino acid is one or more selected from

neutral  $\alpha$ -amino acids consisting of glycine, phenylglycine, hydroxyphenylglycine, dihydroxyphenylglycine, L-alanine, hydroxy-L-alanine, L-leucine, hydroxy-L-leucine, dihydroxy-L-leucine, L-norleucine, methylene-L-norleucine, L-ketonorleucine, L-isoleucine, hydroxy-L-isoleucine, dihydroxy-L-isoleucine, L-valine, hydroxy-L-valine, L-isovaline, L-norvaline, hydroxy-L-norvaline, hydroxy-L-ketonorvaline, L-methionine, L-homomethionine, L-ethionine, L-threonine, acetyl-L-threonine, L-tryptophan, hydroxy-L-tryptophan, methyl-L-tryptophan, L-tyrosine, hydroxy-L-tyrosine, methyl-L-tyrosine, bromo-L-tyrosine, dibromo-L-tyrosine, 3,5-diiodo-L-tyrosine, acetyl-L-tyrosine, chloro-L-tyrosine, L-m-tyrosine, L-levodopa, L-methyldopa, L-thyroxine, L-serine, acetyl-L-serine, L-homoserine, acetyl-L-homoserine, ethyl-L-homoserine, propyl-L-homoserine, butyl-L-homoserine, L-cystine, L-homocystine, methyl-L-cysteine, allyl-L-cysteine, propyl-L-cysteine, L-phenylalanine, dihydro-L-phenylalanine, hydroxymethyl-L-phenylalanine, L-aminobutyric acid, L-aminoisobutyric acid, L-ketoaminobutyric acid, dichloro-L-aminobutyric acid, dihydroxy-L-aminobutyric acid, phenyl-L-aminobutyric acid, L-aminovaleric acid, L-aminohydroxyvaleric acid, dihydroxy-L-aminovaleric acid, L-aminoisovaleric acid, L-aminoheptanoic acid, methyl-L-aminoheptanoic acid, L-aminoheptanoic acid, L-amino-octanoic acid and citrulline and the D- and DL-forms thereof;

acidic  $\alpha$ -amino acids consisting of L-aspartic acid, L-glutamic acid, L-carbocysteine, L-aminoglutaric acid, L-aminosuccinic acid, L-aminoadipic acid, L-aminopimelic acid, hydroxy-L-aminopimelic acid, methyl-L-aspartic acid, hydroxy-L-aspartic acid, methyl-L-glutamic acid, methyl-hydroxy-L-glutamic acid, L-methyleneglutamic acid, hydroxy-L-glutamic acid, dihydroxy-L-glutamic acid and hydroxy-L-aminoadipic acid and the D- and DL-forms thereof;

basic  $\alpha$ -amino acids consisting of L-arginine, L-lysine, L-ornithine, L-canavanine, L-canaline, hydroxy-L-lysine, L-homoarginine, hydroxy-L-homoarginine, hydroxy-L-ornithine, L-diaminopropionic acid, L-diaminohexanoic acid, L-diaminobutyric acid, L-

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diaminvaleric acid, L-diaminoheptanoic acid, and L-diaminooctanoic acid and the D- and DL-forms thereof; and

$\alpha,\omega$ -diaminodicarboxylic acids consisting of diaminosuccinic acid, diaminoglutaric acid, diaminoadipic acid and diaminopimelic acid;

provided that, when said  $\alpha$ -amino acid is an adipic  $\alpha$ -amino acid, it is used in the form of the corresponding alkali salt, acid amide, alkyl-substituted derivative of acid amide or alkyl ester thereof, or

when said  $\alpha$ -amino acid is a basic  $\alpha$ -amino acid, it is used in the form of the corresponding acid addition salt or monoacylated derivative thereof, or

said acidic  $\alpha$ -amino acid and said basic  $\alpha$ -amino acid are also used in the form of the corresponding acidic amino acid-basic amino acid adduct.

①  
Claim 4 (previously amended): A stabilized pharmaceutical preparation as claimed in Claims 1, 2, or 3 wherein a total amount of said  $\alpha$ -amino acid is in the range of 0.001 - 80 moles per mole of the 4-amino-3-substituted-butanoic acid derivative.

Claim 5 (previously amended): A stabilized pharmaceutical preparation as claimed in Claims 2, 3, or 4 wherein it is in the form of liquid preparations.

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Claim 6 (previously amended): A stabilized pharmaceutical preparation as claimed in Claim 5 wherein it is in the dosage form of liquid preparations, syrups or injections.

Claim 7 (previously amended): A stabilized pharmaceutical preparation as claimed in Claims 2, 3, or 4 wherein it is in the form of solid preparations.

Claim 8 (previously amended): A stabilized pharmaceutical preparation as claimed in Claim 7 which is in the dosage form of tablets, powders, granules or capsules.

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Claim 9 (currently amended): A stabilized pharmaceutical preparation as claimed in Claims 1, 2, 3, 4, 5, 6, 7, or 8 which is a gabapentin-containing preparation, a pregabalin-containing preparation, ~~a baclofen-containing preparation~~, or a preparation containing 3-aminomethyl-4-cyclohexyl-butanoic acid, 3-aminomethyl-5-cyclohexyl-pentanoic acid, 3-aminomethyl-4-phenyl-butanoic acid or 3-aminomethyl-5-phenyl-pentanoic acid.)

Claims 10-17 (cancelled)

Claim 18 (currently amended): A stabilized pharmaceutical preparation containing an  $\alpha$  amino acid, an auxiliary agent for manufacturing a pharmaceutical preparation if necessary, and gabapentin.

Claim 19 (previously added): The stabilized pharmaceutical preparation of Claim 18 wherein the  $\alpha$  amino acid is as provided in Claims 2 or 3.

(D) Claim 20 (currently amended): The stabilized pharmaceutical preparation ~~containing~~ of Claims 18 or 19 in the form of liquid preparations, syrups, or injections.

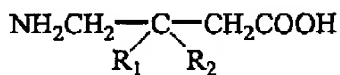
Claim 21 (previously added): The stabilized pharmaceutical preparation of Claims 18 or 19 in the form of solid preparations.

Claim 22 (previously added): The stabilized pharmaceutical preparation of Claim 21 in the dosage forms of tablets, powders, granules, or capsules.

Claim 23 (previously added): The stabilized pharmaceutical preparation of claim 1 wherein the  $\alpha$ -amino acid is phenylglycine, hydroxyphenylglycine, dihydroxyphenylglycine, an L- $\alpha$ -amino acid or a DL- $\alpha$ -amino acid.

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Claim 24 (currently amended): ~~The stabilized pharmaceutical preparation of claim 1 wherein the  $\alpha$ -amino acid is glycine and~~ A stabilized pharmaceutical preparation, comprising: (a) an  $\alpha$  amino acid; (b) an auxiliary agent for manufacturing a pharmaceutical preparation; and (c) a 4-amino-3-substituted-butanoic acid derivative, which 4-amino-3-substituted-butanoic acid derivative has the general formula:



wherein,

R<sub>1</sub> is a hydrogen atom, a hydroxyl group, a methyl group or an ethyl group;

R<sub>2</sub> is a monovalent group selected from:

a straight or branched alkyl group of 3 - 8 carbon atoms;

a straight or branched alkylene group of 3 - 8 carbon atoms;

201  
a straight or branched alkyl group of 3 - 8 carbon atoms which is mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkyl group of 3 - 8 carbon atoms;

a cycloalkyl group of 3 - 8 carbon atoms which is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 4 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 4 - 8 carbon atoms wherein said phenyl ring is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an

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alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms wherein said phenyl ring is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

an alkylcycloalkyl group wherein said cycloalkyl has 3 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-;

an alkylcycloalkyl group wherein said cycloalkyl has 3 - 8 carbon atoms, is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS- and is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-;

a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-, and one or two of the unsubstituted methylene groups (-CH<sub>2</sub>-) are mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

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a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedieryl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>;

a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedieryl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-, and one or two of the unsubstituted methylene groups (-CH<sub>2</sub>-) being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>;

(D) a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-, said phenyl group being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedieryl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedieryl group of 5 - 8 carbon atoms, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedieryl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-, said phenyl ring being mono- or di-substituted with a halogen atom, a

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trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

an alkylcycloalkyl group wherein said cycloalkyl has 5 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-, one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring being replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-;

an alkylcycloalkyl group wherein said cycloalkyl has 5 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-, and one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring being replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>- and one or two of the unsubstituted methylene groups (-CH<sub>2</sub>-) being mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a phenyl or naphthyl group;

a phenyl group substituted with a methylenedioxy group;

a phenyl or naphthyl group which is mono-, di- or tri-substituted with a halogen, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group, a phenoxy group, a phenylmethoxy group, a phenylmethoxy group wherein said phenyl ring is mono-substituted with a halogen atom, trifluoromethyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group, a cycloalkylmethoxy group having 5 - 8 carbon atoms in the cycloalkyl ring, a cycloalkenylmethoxy group having 5 - 8 carbon atoms in the cycloalkenyl ring, a cycloalkanediethylmethoxy group having 5 - 8 carbon atoms in the cycloalkanediethyl ring, a cycloalkylmethoxy group wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring having 5 - 8 carbon atoms is replaced by

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①  
-O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-, a cycloalkenylmethoxy group wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-, a cycloalkanedieryl-methoxy group wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkanedieryl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>- group, a cycloalkylmethoxy group having 5 - 8 carbon atoms in the cycloalkyl ring wherein said cycloalkyl ring is mono-substituted with a halogen atom, trifluoromethyl group, a hydroxy group, an alkyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-, a cycloalkenylmethoxy group having 5 - 8 carbon atoms in the cycloalkenyl ring wherein said cycloalkenyl ring is mono-substituted with a halogen atom, a trifluoromethyl group, a hydroxy group, an alkyl group, an alkoxy group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-, or a cycloalkanedierylmethoxy group having 5 - 8 carbon atoms in the cycloalkanedieryl ring wherein said cycloalkanedieryl ring is mono-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkanedieryl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-;

an alkylphenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-;

an alkyl-O-, -S- or -SS-phenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms via -O-, -S- or -SS-;

an -O-, -S- or -SS-phenyl group;

a diphenylamino group;

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an alkylphenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS- and mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

an alkyl-O-, -S- or -SS-phenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms via -O-, -S- or -SS- and mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

an -O-, -S- or -SS-phenyl group wherein said phenyl group is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

or

(D)  
R<sub>1</sub> and R<sub>2</sub>, together with the carbon atom to which they are attached, may form a divalent group selected from:

a cycloalkylidene group of 5 - 8 carbon atoms;

a cycloalkylidene group of 5 - 8 carbon atoms which is mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, a cycloalkyl group, a phenyl group, an amino group, a nitro group or a carboxyl group;

a cycloalkylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>-;

a cycloalkylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or -S(O)<sub>2</sub>- group and one or more of the unsubstituted methylene groups (-CH<sub>2</sub>-) in said cycloalkyl ring are mono-, di-, tri- or tetra-substituted with a

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halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms which is mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, a cycloalkyl group, a phenyl group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>-;

DI  
a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -S(O)<sub>2</sub>- group and one or more of the unsubstituted methylene groups (-CH<sub>2</sub>-) in said cycloalkenyl ring or cycloalkanedienyl ring are mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkylidene group of 4 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkylidene group of 4 - 8 carbon atoms, said phenyl ring being mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl

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group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms; or

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms, said phenyl ring being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group; and

wherein said  $\alpha$ -amino acid is one or more selected from

neutral  $\alpha$ -amino acids consisting of phenylglycine, hydroxyphenylglycine, dihydroxyphenylglycine, L-alanine, hydroxy-L-alanine, L-leucine, hydroxy-L-leucine, dihydroxy-L-leucine, L-norleucine, methylene-L-norleucine, L-ketonorleucine, L-isoleucine, hydroxy-L-isoleucine, dihydroxy-L-isoleucine, L-valine, hydroxy-L-valine, L-isovaline, L-norvaline, hydroxy-L-norvaline, hydroxy-L-ketonorvaline, L-methionine, L-homomethionine, L-ethionine, L-threonine, acetyl-L-threonine, L-tryptophan, hydroxy-L-tryptophan, methyl-L-tryptophan, L-tyrosine, hydroxy-L-tyrosine, methyl-L-tyrosine, bromo-L-tyrosine, dibromo-L-tyrosine, 3,5-diiodo-L-tyrosine, acetyl-L-tyrosine, chloro-L-tyrosine, L-m-tyrosine, L-levodopa, L-methyldopa, L-thyroxine, L-serine, acetyl-L-serine, L-homoserine, acetyl-L-homoserine, ethyl-L-homoserine, propyl-L-homoserine, butyl-L-homoserine, L-cystine, L-homocystine, methyl-L-cysteine, allyl-L-cysteine, propyl-L-cysteine, L-phenylalanine, dihydro-L-phenylalanine, hydroxymethyl-L-phenylalanine, L-aminobutyric acid, L-aminoisobutyric acid, L-ketoaminobutyric acid, dichloro-L-aminobutyric acid, dihydroxy-L-aminobutyric acid, phenyl-L-aminobutyric acid, L-aminovaleric acid, L-aminohydroxyvaleric acid, dihydroxy-L-aminovaleric acid, L-aminoisovaleric acid, L-aminohexanoic acid, methyl-L-aminohexanoic acid, L-

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aminoheptanoic acid, L-aminooctanoic acid and citrulline and the D- and DL-forms thereof;

acidic  $\alpha$ -amino acids consisting of L-aspartic acid, L-glutamic acid, L-carbocysteine, L-aminoglutaric acid, L-aminosuccinic acid, L-aminoadipic acid, L-aminopimelic acid, hydroxy-L-aminopimelic acid, methyl-L-aspartic acid, hydroxy-L-aspartic acid, methyl-L-glutamic acid, methyl-hydroxy-L-glutamic acid, L-methyleneglutamic acid, hydroxy-L-glutamic acid, dihydroxy-L-glutamic acid and hydroxy-L-aminoadipic acid and the D- and DL-forms thereof;

basic  $\alpha$ -amino acids consisting of L-arginine, L-lysine, L-ornithine, L-canavanine, L-canaline, hydroxy-L-lysine, L-homoarginine, hydroxy-L-homoarginine, hydroxy-L-ornithine, L-diaminopropionic acid, L-diaminohexanoic acid, L-diaminobutyric acid, L-diaminovaleric acid, L-diaminoheptanoic acid, and L-diaminooctanoic acid and the D- and DL-forms thereof; and

$\alpha,\omega$ -diaminodicarboxylic acids consisting of diaminosuccinic acid, diaminoglutaric acid, diaminoadipic acid and diaminopimelic acid;

provided that, when said  $\alpha$ -amino acid is an adipic  $\alpha$ -amino acid, it is used in the form of the corresponding alkali salt, acid amide, alkyl-substituted derivative of acid amide or alkyl ester thereof, or

when said  $\alpha$ -amino acid is a basic  $\alpha$ -amino acid, it is used in the form of the corresponding acid addition salt or monoacylated derivative thereof, or

said acidic  $\alpha$ -amino acid and said basic  $\alpha$ -amino acid are also used in the form of the corresponding acidic amino acid-basic amino acid adduct.